

Product Data Sheet

Product Name: MRE 3008F20

Cat. No.: GC12584

Chemical Properties

Cas. No. 252979-43-4

Chemical Name 1-(2-(furan-2-yl)-8-propyl-8H-pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-yl)-3-(4-methoxyphenyl)urea

SMILES O=C(NC(C=C1)=CC=C1OC)NC(N2N=C(C3=CC=CO3)N=C2C4=C5)=NC4=NN5CCC

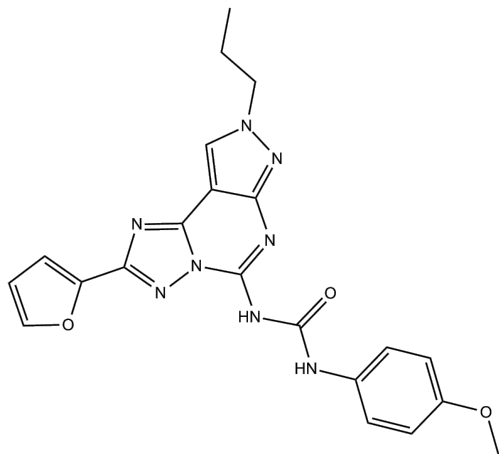
Formula $C_{21}H_{20}N_8O_3$ M.Wt 423.44

Solubility <21.17mg/ml in DMSO Storage Store at RT

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines mBMMCs

Caution: Product has not been fully validated for medical applications. For research use only.

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mBMMCs were pretreated with 10 μ M MRE 3008F20 for 20min and stimulated Preparation Method with 0.1 or 1 μ M adenosine, then intracellular calcium concentration was measured using a fluorescence spectrophotometer with Fura-2 AM loading.

Reaction
Conditions 10 μ M; 20min

Applications Treatment with MRE 3008F20 significantly inhibited adenosine-induced intracellular calcium ion elevation. The activation rate decreased from $2.7 \pm 0.3\%$ to $1.3 \pm 0.2\%$ under 0.1 μ M adenosine stimulation ($p < 0.05$), and from $9.0 \pm 0.7\%$ to $1.8 \pm 0.3\%$ under 1 μ M adenosine stimulation ($p < 0.01$).

References:

[1] YASHIRO T, OGATA H, ZAIDI S F, et al. Pathophysiological roles of neuro-immune interactions between enteric neurons and mucosal mast cells in the gut of food allergy mice[J]. Cells, 2021, 10(7): 1586.

Background

MRE 3008F20 is a highly selective antagonist of the adenosine A₃ receptor (AA₃R), with a binding constant $K_i = 1.8\text{nM}$ ^[1]. AA₃R inhibits adenylyl cyclase and regulates intracellular calcium levels, playing a key role in cardioprotection, anti-ischemia, and anti-inflammation^[2]. MRE 3008F20 is commonly used in radioligand binding assays, in vitro pharmacology (e.g., receptor binding experiments and functional inhibition assays), and studies of related signaling pathways^[3,4].

In vitro, pretreatment of mucosal-type bone-marrow-derived mast cells (mBMMCs) with MRE 3008F20 (10 μ M) for 20min, followed by stimulation with adenosine (0.1 or 1 μ M), significantly inhibited the adenosine-induced increase in intracellular calcium. Under stimulation with 0.1 μ M adenosine, the activation rate decreased from $2.7 \pm 0.3\%$ to $1.3 \pm 0.2\%$ ($p < 0.05$), and under stimulation with 1 μ M adenosine, the activation rate decreased from $9.0 \pm 0.7\%$ to $1.8 \pm 0.3\%$ ($p < 0.01$)^[5]. Treatment of human melanoma A375 cells with MRE 3008F20 (1 μ M) in combination with 10 μ M VP-16 or 1 μ M doxorubicin for 4h further enhanced the inhibitory effects of VP-16 and

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doxorubicin on HIF-1 α protein expression^[6]. Pretreatment of human melanoma C32 cells with MRE 3008F20 (10nM) for 30min, followed by treatment with adenosine deaminase (ADA, 0.3U/mL) and continued culture for 48h, completely blocked the cell proliferation induced by ADA^[7].

References:

- [1] GESSI S, VARANI K, MERIGHI S, et al. Expression of A3 adenosine receptors in human lymphocytes: up-regulation in T cell activation[J]. Molecular Pharmacology, 2004, 65(3): 711-719.
- [2] DAL BEN D, LAMBERTUCCI C, MARUCCI G, et al. Adenosine receptor modeling: what does the A2A crystal structure tell us?[J]. Current Topics in Medicinal Chemistry, 2010, 10(10): 993-1018.
- [3] VARANI K, MERIGHI S, GESSI S, et al. [3H] MRE 3008F20: a novel antagonist radioligand for the pharmacological and biochemical characterization of human A3 adenosine receptors[J]. Molecular Pharmacology, 2000, 57(5): 968-975.
- [4] GESSI S, VARANI K, MERIGHI S, et al. A3 adenosine receptors in human neutrophils and promyelocytic HL60 cells: a pharmacological and biochemical study[J]. Molecular Pharmacology, 2002, 61(2): 415-424.
- [5] YASHIRO T, OGATA H, ZAIDI S F, et al. Pathophysiological roles of neuro-immune interactions between enteric neurons and mucosal mast cells in the gut of food allergy mice[J]. Cells, 2021, 10(7): 1586.
- [6] MERIGHI S, SIMIONI C, GESSI S, et al. A2B and A3 adenosine receptors modulate vascular endothelial growth factor and interleukin-8 expression in human melanoma cells treated with etoposide and doxorubicin[J]. Neoplasia, 2009, 11(10): 1064-1073.
- [7] SOARES A S, COSTA V M, DINIZ C, et al. Inosine Strongly Enhances Proliferation of Human C32 Melanoma Cells through PLC-PKC-MEK 1/2-ERK 1/2 and PI3K Pathways[J]. Basic & Clinical Pharmacology & Toxicology, 2015, 116(1): 25-36.

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